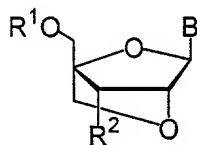


## WHAT IS CLAIMED IS:

1. A compound of formula (1) or a pharmaceutically acceptable salt thereof,



(1)

wherein, R<sup>1</sup> is the same or different, and each represents a hydrogen atom, a protecting group for a hydroxy group in nucleic acid synthesis, a phosphoric acid group, a phosphoric acid group protected with a protecting group in nucleic acid synthesis, or a group represented by the formula -P(R<sup>4a</sup>)R<sup>4b</sup>, wherein R<sup>4a</sup> and R<sup>4b</sup> are the same or different and each represents a hydroxy group, a hydroxy group protected with a protecting group in nucleic acid synthesis, a mercapto group, a mercapto group protected with a protecting group in nucleic acid synthesis, an amino group, an amino group protected with a protecting group in nucleic acid synthesis, an alkoxy group having 1-6 carbon atoms, an alkylthio group having 1-6 carbon atoms, a cyanoalkoxy group having 1-7 carbon atoms, or an amino group substituted by an alkyl group having 1-6 carbon atoms,

R<sup>2</sup> represents an azido group, an amino group, or a group represented by the formula -NH-R<sup>3</sup>, wherein R<sup>3</sup> is the same or different and each represents a protecting group for an amino group in nucleic acid synthesis, a phosphoric acid group, a phosphoric acid group protected with a protecting group in nucleic acid synthesis, or a group represented by the formula -P(R<sup>4a</sup>)R<sup>4b</sup>, wherein R<sup>4a</sup> and R<sup>4b</sup> is the same or different and each represents a hydroxy group, a hydroxy group protected with a protecting group in nucleic acid synthesis, a mercapto group, a mercapto group protected with a protecting group in nucleic acid synthesis, an amino group, an amino group protected with a protecting group in nucleic acid

synthesis, an alkoxy group having 1-6 carbon atoms, an alkylthio group having 1-6 carbon atoms, a cyanoalkoxy group having 1-7 carbon atoms or an amino group substituted by an alkyl group having 1-6 carbon atoms,

B represents a purin-9-yl group or a 2-oxo-1,2-dihydropyrimidin-1-yl group each of which is optionally substituted with 1 or more substituents selected from the group consisting of

a hydroxy group,  
 a hydroxy group protected with a protecting group in nucleic acid synthesis,  
 an alkoxy group having 1-6 carbon atoms,  
 a mercapto group,  
 a mercapto group protected with a protecting group in nucleic acid synthesis,  
 an alkylthio group having 1-6 carbon atoms,  
 an amino group,  
 an amino group protected with a protecting group in nucleic acid synthesis,  
 an amino group substituted by an alkyl group having 1-6 carbon atoms,  
 an alkyl group having 1-6 carbon atoms, and  
 halogen atom.

2. The compound according to claim 1, wherein R<sup>1</sup> represents a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, a silyl group, a methyl group substituted by 1 to 3 aryl groups, or a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by a lower-alkyl group, lower-alkoxy group, halogen atom or a cyano group.

202210-00845001

3. The compound according to claim 1, wherein  $R^1$  represents a hydrogen atom, a silyl group, a methyl group substituted by 1 to 3 aryl groups, or a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by a lower-alkyl group, lower-alkoxy group, halogen atom or cyano group.

4. The compound according to claim 1, wherein  $R^1$  represents a hydrogen atom, trimethylsilyl group, t-butyldimethylsilyl group, t-butyldiphenylsilyl group, benzyl group, triphenylmethyl group, 4-methoxybenzyl group, 4-methoxyphenyldiphenylmethyl group, a 4,4'-dimethoxytriphenylmethyl group, or 4,4',4''-trimethoxytriphenylmethyl group.

5. The compound according to claim 1, wherein  $R^2$  represents an azido group, an amino group, or a group represented by the formula  $-NH-R^3$ , wherein  $R^3$  represents an aliphatic acyl group, an aromatic acyl group, a methyl group substituted by 1 to 3 aryl groups, a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by lower-alkyl group, lower-alkoxy group, halogen atom, or cyano group, a silyl group, a phosphoramidite group, a phosphonyl group, a phosphoric acid group or a phosphoric acid group protected with a protecting group in nucleic acid synthesis.

6. The compound according to claim 1, wherein  $R^2$  represents an azido group, an amino group, or a group represented by the formula  $-NH-R^3$ , wherein  $R^3$  represents an acetyl group, trifluoroacetyl group, benzoyl group, benzyl group, p-methoxybenzyl group, tert-butyldiphenylsilyl group, a group represented by the formula  $-P(OC_2H_4CN)(NCH(CH_3)_2)$ , a group represented by the formula  $-P(OCH_3)(NCH(CH_3)_2)$ , a phosphonyl group, or a 2-chlorophenyl- or a 4-chlorophenylphosphonic acid group.

7. The compound according to claim 1, wherein  $R^2$  represents an azido group or an amino group.

8. The compound according to claim 1, wherein B represents 6-aminopurin-9-yl, 6-amino-purin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2,6-diaminopurin-9-yl wherein one or both amino groups are protected with a protecting group in nucleic acid synthesis, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-hydroxypurin-9-yl, 2-amino-6-hydroxypurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-methoxypurin-9-yl, 6-amino-2-methoxypurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-chloropurin-9-yl, 6-amino-2-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-fluoropurin-9-yl, 6-amino-2-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2,6-dimethoxypurin-9-yl, 2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl, 6-mercaptopurin-9-yl wherein the mercapto group is protected with a protecting group in nucleic acid synthesis, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl, 4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercapto-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercapto-1,2-dihydropyrimidin-1-yl wherein the mercapto

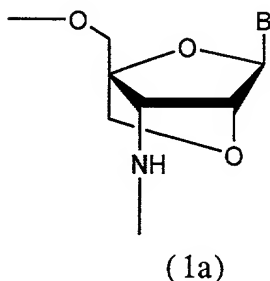
group is protected with a protecting group in nucleic acid synthesis, 2,4-dihydroxypyrimidin-1-yl, 2,4-dihydroxy-5-methylpyrimidin-1-yl, 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl, or 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl group wherein the amino group is protected with a protecting group in nucleic acid synthesis.

9. The compound according to claim 1, wherein B represents 6-benzoylamino-9-yl, adeninyl, 2-benzoylamino-6-hydroxypurin-9-yl, guaninyl, 2-oxo-4-benzoylamino-1,2-dihydropyrimidin-1-yl, cytosinyl, uracilyl or thyminylyl.

10. The compound according to claim 1, wherein the compound is selected from the group consisting of:

3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine, 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine, 3'-azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine, 3'-azido-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine and 3'-amino-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine.

11. An oligonucleotide analogue or a pharmaceutically acceptable salt thereof having 1 or more structural units represented by the following formula (1a),



provided that when the oligonucleotide has two or more structural units of formula (1a), each B is the same or different,

wherein B represents a purin-9-yl group or a 2-oxo-1,2-dihydropyrimidin-1-yl group which are optionally substituted with a substituent selected from the group consisting of:

- a hydroxy group,
- a hydroxy group protected with a protecting group in nucleic acid synthesis ,
- an alkoxy group having 1-6 carbon atoms,
- a mercapto group,
- a mercapto group protected with a protecting group in nucleic acid synthesis,
- an alkylthio group having 1-6 carbon atoms,
- an amino group,
- an amino group protected with a protecting group in nucleic acid synthesis,
- an amino group substituted by an alkyl group having 1-6 carbon atoms,
- an alkyl group having 1-6 carbon atoms, and
- a halogen atom.

12. The oligonucleotide analogue or a pharmaceutically acceptable salt thereof according to claim 11, wherein B represents 6-aminopurin-9-yl, 6-aminopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2,6-diaminopurin-9-yl, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2-amino-6-hydroxypurin-9-yl, 2-amino-6-hydroxypurin-9-yl wherein the amino and hydroxyl groups are protected with a protecting group in nucleic acid synthesis , 6-amino-2-methoxypurin-9-yl, 6-amino-2-chloropurin-9-yl,

6-amino-2-fluoropurin-9-yl, 2,6-dimethoxypurin-9-yl,  
 2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl,  
 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl,  
 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl wherein the amino group  
 is protected with a protecting group in nucleic acid synthesis,  
 2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl,  
 4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl wherein the  
 amino group is protected with a protecting group in nucleic acid  
 synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl,  
 2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl,  
 2-oxo-4-mercapto-1,2-dihydropyrimidin-1-yl,  
 2-oxo-4-hydroxy-1,2-dihydropyrimidin-1-yl,  
 2-oxo-4-hydroxy-5-methyl-1,2-dihydropyrimidin-1-yl,  
 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl,  
 5-methylcytosinyl), or  
 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl wherein the  
 amino group is protected with a protecting group in nucleic acid  
 synthesis.

13. The oligonucleotide analogue or a pharmaceutically  
 acceptable salt thereof according to claim 11, wherein B represents  
 6-benzoylamino-9-yl, adeninyl,  
 2-isobutylamino-6-hydroxypurin-9-yl, guaninyl,  
 2-oxo-4-benzoylamino-1,2-dihydropyrimidin-1-yl, cytosinyl,  
 2-oxo-5-methyl-4-benzoylamino-1,2-dihydropyrimidin-1-yl,  
 5-methylcytosinyl, uraciny or thyminy.

14. A pharmaceutical composition comprising a pharmaceutically  
 effective amount of a pharmacologically active compound together  
 with a carrier therefore, wherein said pharmacologically active  
 compound is an oligonucleotide analogue comprising two or more  
 nucleoside units, wherein at least one of said nucleoside units  
 is a structure of the formula (1a) of claim 11, or a  
 pharmaceutically acceptable salt of said compound.

202210-00045001

16. The method according to claim 15, wherein the mammal is a human.

18. The method according to claim 17, wherein the mammal is a human.

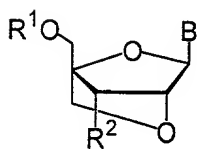
20. In a probe for a gene comprising an oligonucleotide analogue, the improvement comprising the oligonucleotide analogue comprising two or more nucleoside units, wherein one of said units is a unit of the formula (1a) of claim 11.



21. In a primer for starting amplification comprising an oligonucleotide analogue, the improvement comprising the oligonucleotide analogue comprising two or more nucleoside units, wherein one of said units is a unit of the formula (1a) of claim 11.

22. In an antisense oligonucleotide comprising two to one hundred nucleoside units, the improvement comprising at least one of said units being a unit of the formula (1a) of claim 11.

23. A compound of the formula (1):



(1)

wherein R<sup>1</sup> represents a hydrogen atom or a protecting group for a hydroxy group;

R<sup>2</sup> represents an azido group or an amino group that optionally is protected; and

B represents a purin-9-yl group or a pyrimidin-1-yl group, each of which optionally is substituted with 1 or more substituents selected from the group consisting of

- a halogen atom
- an alkoxy group having from 1 to 6 carbon atoms,
- a hydroxyl group which may be protected,
- a mercapto group which may be protected,
- an amino group which may be protected,
- an alkoxy group having from 1 to 6 carbon atoms,
- a mono-alkylamino group, the alkyl group of which having 1 to 6 carbon atoms and a di-alkylamino group, the alkyl group of which has from 1 to 6 carbon atoms.